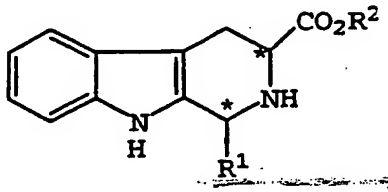
WHAT IS CLAIMED IS:

1. A method of preparing a desired diastereomer of a tetrahydro-β-carboline having a formula



comprising the steps of:

(a) providing a tryptophan esterified using an alcohol having a formula R^2OH; and

(b) reacting the tryptophan ester of step (a) with an aldehyde having a formula R^1CHO to provide the desired diastereomer and an undesired diastereomer, wherein the reaction is performed in a solvent in which the desired diastereomer is insoluble and the undesired diastereomer is soluble.

2. The method of claim 1 wherein the desired diastereomer is insoluble in the solvent of step (b) at reflux temperature or lower, and the undesired diastereomer is soluble in the solvent of step (b) at reflux temperature or lower.

3. The method of claim 1 wherein the alcohol R^2OH is selected from the group consisting of methanol, ethanol, isopropyl alcohol, n-propyl alcohol, n-butyl alcohol, sec-butyl alcohol, t-butyl alcohol, and mixtures thereof.

4. The method of claim 1 wherein the alcohol R^2OH comprises methanol.

5. The method of claim 1 wherein the aldehyde is an aliphatic aldehyde.

6. The method of claim 1 wherein the aldehyde is an aryl aldehyde.

7. The method of claim 1 wherein the aldehyde R^1CHO is piperonal.

8. The method of claim 1 wherein the desired diastereomer is the *cis*-diastereomer.

9. The method of claim 1 wherein the tryptophan is D-tryptophan.

10. The method of claim 1 wherein the desired diastereomer is *trans*-diastereomer.

11. The method of claim 1 wherein the solvent in step (b) is selected from the group consisting of an alcohol, an aromatic solvent, a nitrile, an ester, an ether, an aliphatic hydrocarbon, an organic acid, mixtures thereof, and aqueous solutions thereof.

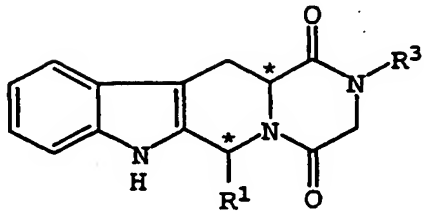
12. The method of claim 1 wherein the solvent in step (b) is selected from the group consisting of isopropyl alcohol, n-propanol, n-butanol, toluene, xylene, benzene, acetonitrile, propionitrile, acetic acid, ethyl acetate, tetrahydrofuran, methyl t-butyl ether, dioxane, mixtures thereof, and aqueous solutions thereof.

13. The method of claim 1 wherein the desired diastereomer is the *cis*-diastereomer, and the solvent of step (b) is an alcohol.

14. The method of claim 13 wherein the alcohol is selected from the group consisting of isopropyl alcohol, n-propyl alcohol, n-butanol, and sec-butyl alcohol.

15. The method of claim 13 wherein the alcohol is isopropyl alcohol.

16. A method of preparing a compound having a formula



comprising the steps of:

(a) providing a desired diastereomer of a tetrahydro-β-carboline by the method of claim 1;

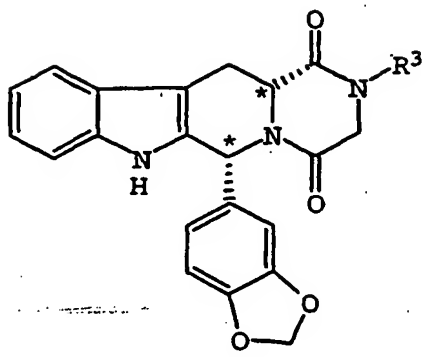
(b) reacting the tetrahydro-β-carboline with chloroacetyl chloride to provide an N-substituted tetrahydro-β-carboline; and

(c) reacting the N-substituted tetrahydro-β-carboline with an amine having a structure R^3NH_2, wherein R^3 is C_{1-6}alkyl or hydro.

17. The method of claim 16 wherein the amine is selected from the group consisting of ammonia, methylamine, ethylamine, propylamine, isopropylamine, butyl amine, and sec-butyl amine.

18. The method of claim 15 wherein the amine is methylamine.

19. The method of claim 16 wherein the compound has a structure

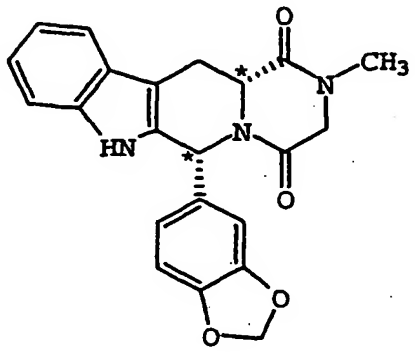


20. The method of claim 19 wherein R^3 is methyl.

21. The method of claim 19 wherein the compound is purified by recrystallization from glacial acetic acid.

22. The method of claim 23 wherein step (c) is performed in tetrahydrofuran, and wherein the tetrahydrofuran is removed and replaced with an alcohol for isolation and purification of the compound.

23. A method of preparing a compound having a structural formula:



comprising the steps of:

(a) esterifying D-tryptophan in methanol and thionyl chloride to provide D-tryptophan methyl ester hydrochloride;

(b) reacting the D-tryptophan methyl ester hydrochloride with piperonal in refluxing isopropyl alcohol to provide *cis*-1-(1,3-benzodioxol-5-yl)-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indole-3-carboxylic acid methyl ester;

(c) reacting the product of step (b) with chloroacetyl chloride and triethylamine to provide *cis*-1-(1,3-benzodioxo-5-yl)-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indole-3-carboxylic acid methyl ester; and

(d) reacting the product of step (c) with methylamine to provide the compound.